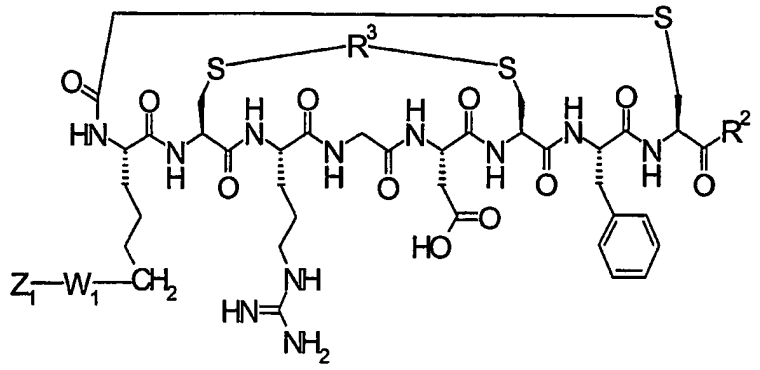
Claims

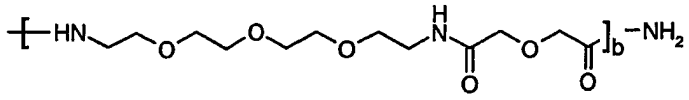
1. A compound of formula (I):



(I)

wherein

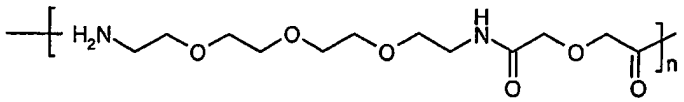
R^2 is



wherein b is an integer of from 0 to 10;

R^3 is a C_{1-4} alkylene or C_{2-4} alkenylene bridge;

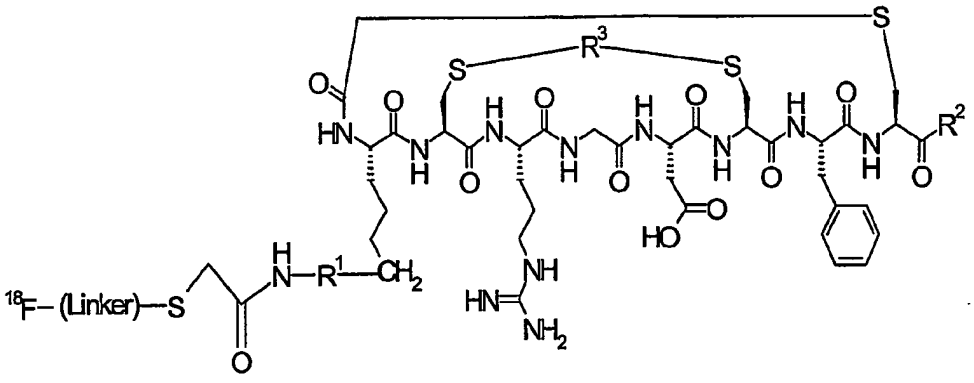
W_1 is absent or represents a spacer moiety which is a C_{1-30} hydrocarbyl group optionally including 1 to 10 heteroatoms selected from oxygen, nitrogen, and sulphur, and is preferentially derived from glutaric and/or succinic acid and/or a polyethyleneglycol based unit and/or a unit of Formula :



Z_1 is an antineoplastic agent, a chelating agent or a reporter moiety.

2. A compound of formula (I) according to claim 1, wherein Z_1 is a reporter moiety comprising a radionuclide.

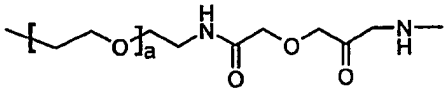
3. A compound of formula (Ia):



(Ia)

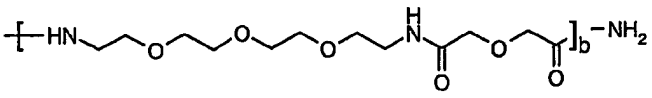
wherein

R^1 is either a bond or is



wherein a is an integer of from 1 to 30;

R^2 is



wherein b is an integer of from 0 to 10;

R^3 is a C_{1-4} alkylene or C_{2-4} alkenylene bridge;

the Linker is a C_{1-30} hydrocarbyl group optionally including 1 to 10 heteroatoms.

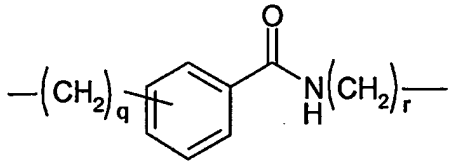
4. A compound of formula (Ia) according to claim 3 in which:
R^3 is C_{1-4} alkylene;
a is an integer of from 1 to 10; and
b is 1.

5. A compound of formula (Ia) according to claim 3 or 4 in which:
R^3 is–CH_2-; and
a is 5.

6. A compound of formula (Ia) according to any of claims 3 to 5 in which the Linker is selected from (II), (III) and (IV) :

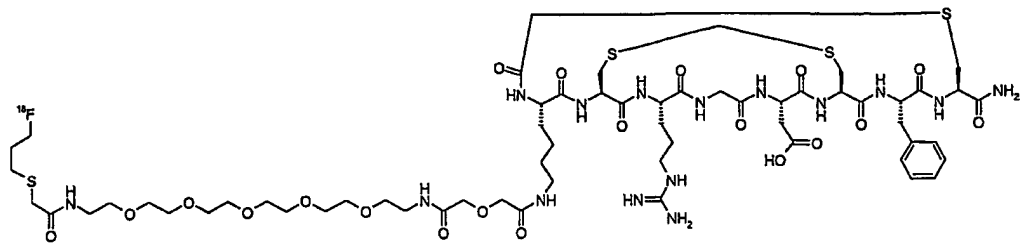
$$-(CH_2CH_2O)_n-(CH_2)_m- \quad (II)$$

$$-(CH_2)_p- \quad (III)$$


(IV)

wherein:
n is an integer of 1 to 20;
m is an integer of 1 to 10;
p is an integer of 1 to 20;
q is an integer of 0 to 4;
r is an integer of 1 to 10.

7. A compound of formula (Ia) according to any of claims 3 to 6 which is:

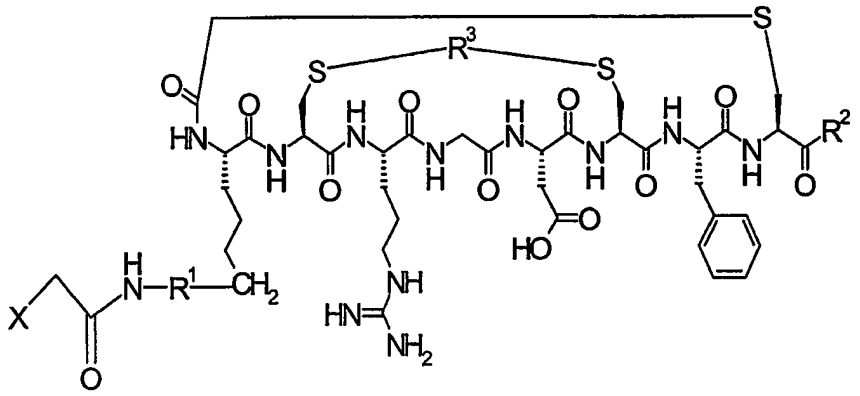


8. A compound of formula (I) or (Ia) according to any of claims 1 to 7 for use in medicine, particularly in the *in vivo* diagnosis or imaging, for example by PET, of a disease or condition associated with angiogenesis.

9. A method for *in vivo* diagnosis or imaging of a disease or condition associated with angiogenesis which comprises the step of administering a compound of formula (I) or (Ia) according to any of claims 1 to 7 to a human or animal body, followed by generation of an image, suitably a PET image, of part or all of said body

10. A radiopharmaceutical formulation comprising a compound of formula (I) or (Ia) according to any of claims 1 to 7 and one or more pharmaceutically acceptable excipients.

11. A method of preparing a compound of formula (Ia) as defined in any of claims 3 to 7 which comprises reaction of the corresponding compound of formula (V):



(V)

wherein R^1, R^2, and R^3 are as defined for the compound of formula (Ia) and X is a

leaving group selected from chloro, bromo, and iodo, and is preferably chloro; by reaction with the appropriate compound of formula (VI):

$$^{18}F\text{-(Linker)-SH} \quad (VI)$$

wherein the Linker is as defined for the compound of formula (Ia).

12. A compound of formula (V) as defined in claim 11.

13. A kit for the preparation of a radiofluorinated peptide of formula (Ia) according to any of claims 3 to 7 comprising:

(i) a compound of formula (VIa)

$$L\text{-(Linker)-SR} \quad (VIa)$$

wherein L is a leaving group such as p-toluenesulphonate, trifluoromethanesulphonate, or methanesulphonate,

the Linker is a C_{1-30} hydrocarbyl group optionally including 1 to 10 heteroatoms;

R is hydrogen or a thiol protecting group;

and

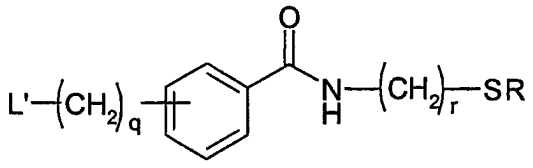
(ii) an activated peptide of formula (V) as defined in claim 11.

14. A kit according to claim 13, comprising:

(i) a compound of formula (VIb), (VIc), or (VId):

$$L\text{-}(CH_2CH_2O)_n\text{-}(CH_2)_m\text{-SR} \quad (VIb)$$

$$L\text{-}(CH_2)_p\text{-SR} \quad (VIc)$$



(VId)

n is an integer of 1 to 20;

m is an integer of 1 to 10;

p is an integer of 1 to 20;

q is an integer of 0 to 4;

r is an integer of 1 to 10;

L is a leaving group such as p-toluenesulphonate, trifluoromethanesulphonate, or methanesulphonate;

L' is a leaving group such as iodo, p-toluenesulphonate, trifluoromethanesulphonate, or methanesulphonate and when q is 0, L' can be nitro or an iodonium or ammonium salt,

R is hydrogen or a thiol protecting group; and

(ii) an activated peptide of formula (V) as defined in claim 11.